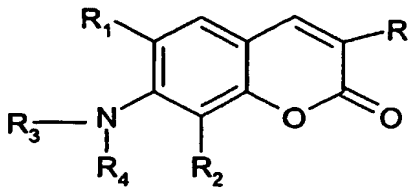
CLAIMS

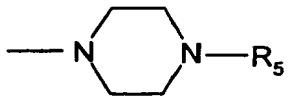
1. A compound of formula I



I

wherein

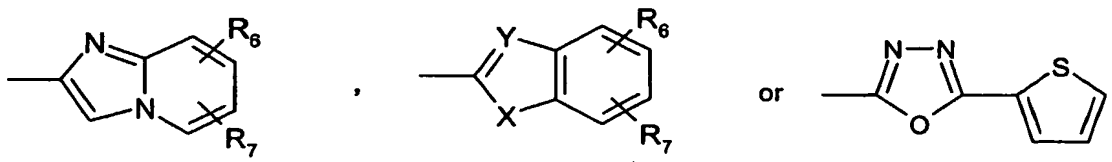
either R_1 and R_2 are both hydrogen and either R_3 and R_4, independently, are H, CH_3, $^{11}CH_3$, $(CH_2)_nI$, $(CH_2)_n{}^{123}I$, $(CH_2)_nOH$, $(CH_2)_nF$ or $(CH_2)_n{}^{18}F$, n being 2, 3 or 4, or R_3 and R_4, together with the nitrogen atom to which they are attached, form a group of formula



wherein R_5 is H, $(CH_2)_nI$, $(CH_2)_n{}^{123}I$, $(CH_2)_nOH$, CH_3, $^{11}CH_3$, $(CH_2)_nF$ or $(CH_2)_n{}^{18}F$, n being as defined above,

or one of R_1 and R_2 is hydrogen and the other, together with R_3, forms a $-(CH_2)_m-$ bridge, m being 2 or 3, and R_4 is H, CH_3, $(CH_2)_nI$, $(CH_2)_n{}^{123}I$, $(CH_2)_nOH$, $^{11}CH_3$, $(CH_2)_nF$ or $(CH_2)_n{}^{18}F$, and

R is a group of formula

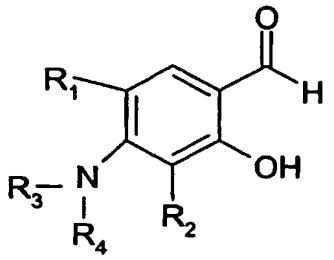


wherein X is O, S or NR_8, R_8 being H, CH_3, $^{11}CH_3$, $(CH_2)_nI$, $(CH_2)_n{}^{123}I$, $(CH_2)_nOH$, $(CH_2)_nF$ or $(CH_2)_n{}^{18}F$ (n being as defined above), Y is CH or N and R_6 and R_7, independently, are H, NO_2, F, ^{18}F, $O(CH_2)_nF$, $O(CH_2)_n{}^{18}F$, Cl, CN, ^{11}CN, OCH_3, $O^{11}CH_3$, I, ^{123}I, $O(CH_2)_nI$ or $O(CH_2)_n{}^{123}I$ (n being as defined above),

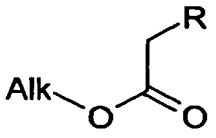
in free base or acid addition salt form, for use as a marker.

2. A process for the production of a compound of formula I as defined in claim 1, or a salt thereof, comprising the step of

a) for the production of a compound of formula I wherein R_3, R_4, R_5, R_6, R_7 and R_8 are different from $^{11}CH_3$, $(CH_2)_n{}^{18}F$, $(CH_2)_n{}^{123}I$, ^{18}F, $O(CH_2)_n{}^{18}F$, ^{11}CN, $O^{11}CH_3$, ^{123}I and $O(CH_2)_n{}^{123}I$, reacting a compound of formula II with a compound of formula III



II



III

wherein R_3 and R_4 as well as R_5 in R_3 and R_4; R_6 and R_7 in R; and R_8 in X are different from $^{11}CH_3$, $(CH_2)_n{}^{18}F$, $(CH_2)_n{}^{123}I$, ^{18}F, $O(CH_2)_n{}^{18}F$, ^{11}CN, $O^{11}CH_3$, ^{123}I and $O(CH_2)_n{}^{123}I$, and Alk is (C_{1-4})alkyl, or

b) for the production of a compound of formula I wherein at least one of R_6 and R_7 is $O^{11}CH_3$, reacting a compound of formula I wherein at least one of R_6 and R_7 is OH with $I^{11}CH_3$ and a base, or

c) for the production of a compound of formula I wherein at least one of R_6 and R_7 is $O(CH_2)_n{}^{18}F$, respectively $O(CH_2)_n{}^{123}I$, reacting a compound of formula I wherein at least one of R_6 and R_7 is $O(CH_2)_nOTs$ or $O(CH_2)_nOMs$ with $^{18}F^{\ominus}$, respectively $^{123}I^{\ominus}$, or

d) for the production of a compound of formula I wherein at least one of R_6 and R_7 is ^{18}F, reacting a compound of formula I wherein at least one of R_6 and R_7 is NO_2 or halogen, with $^{18}F^{\ominus}$, or

e) for the production of a compound of formula I wherein at least one of R_6 and R_7 is ^{123}I, reacting a compound of formula I wherein at least one of R_6 and R_7 is Bu_3Sn, with ^{123}I and hydrogen peroxide, or

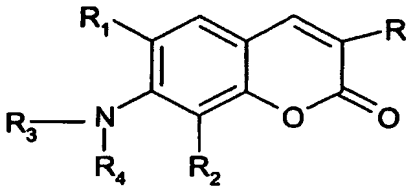
f) for the production of a compound of formula I wherein at least one of R_6 and R_7 is ^{11}CN, reacting a compound of formula I wherein at least one of R_6 and R_7 is OSO_2CF_3 with [^{11}C]cyanide, or

g) for the production of a compound of formula I wherein at least one of R_3, R_4, R_5 and R_8 is $^{11}CH_3$, reacting a compound of formula I wherein at least one of R_3, R_4, R_5 and R_8 is hydrogen, with $^{11}CH_3I$, or

h) for the production of a compound of formula I wherein at least one of R_3, R_4, R_5 and R_8 is $(CH_2)_n{}^{18}F$, respectively $(CH_2)_n{}^{123}I$, reacting a compound of formula I wherein at least one of R_3, R_4, R_5 and R_8 is $(CH_2)_nOTs$ or $(CH_2)_nOMs$ with $^{18}F^{\ominus}$, respectively $I^{\ominus}$,

and recovering the resulting compound of formula I in free base form or in form of an acid addition salt.

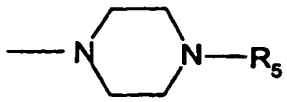
3. A compound of formula I



I

wherein

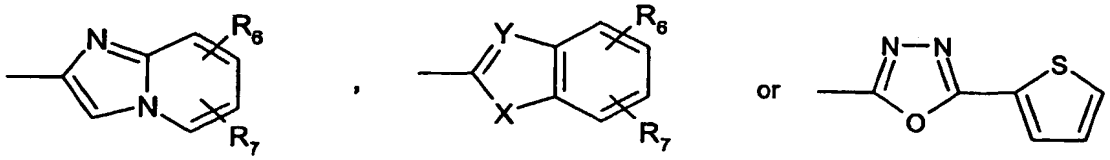
either R_1 and R_2 are both hydrogen and either R_3 and R_4, independently, are H, CH_3, $^{11}CH_3$, $(CH_2)_nI$, $(CH_2)_n{}^{123}I$, $(CH_2)_nOH$, $(CH_2)_nF$ or $(CH_2)_n{}^{18}F$, n being 2, 3 or 4, or R_3 and R_4, together with the nitrogen atom to which they are attached, form a group of formula



wherein R_5 is H, $(CH_2)_nI$, $(CH_2)_n{}^{123}I$, $(CH_2)_nOH$, CH_3, $^{11}CH_3$, $(CH_2)_nF$ or $(CH_2)_n{}^{18}F$, n being as defined above,

or one of R_1 and R_2 is hydrogen and the other, together with R_3, forms a $-(CH_2)_m-$ bridge, m being 2 or 3, and R_4 is H, CH_3, $(CH_2)_nI$, $(CH_2)_n{}^{123}I$, $(CH_2)_nOH$, $^{11}CH_3$, $(CH_2)_nF$ or $(CH_2)_n{}^{18}F$, and

R is a group of formula



wherein X is O, S or NR_8, R_8 being H, CH_3, $^{11}CH_3$, $(CH_2)_nI$, $(CH_2)_n{}^{123}I$, $(CH_2)_nOH$, $(CH_2)_nF$ or $(CH_2)_n{}^{18}F$ (n being as defined above), Y is CH or N and R_6 and R_7, independently, are H, NO_2, F, ^{18}F, $O(CH_2)_nF$, $O(CH_2)_n{}^{18}F$, Cl, CN, ^{11}CN, OCH_3, $O^{11}CH_3$, I, ^{123}I, $O(CH_2)_nI$ or $O(CH_2)_n{}^{123}I$ (n being as defined above),

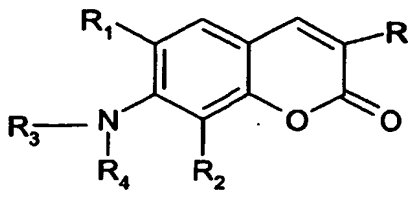
with the exception of

7-Dimethylamino-3-(1-methyl-1H-benzoimidazol-2-yl)-chromen-2-one
3-(1H-Benzoimidazol-2-yl)-7-dimethylamino-chromen-2-one
3-(6-Chloro-benzothiazol-2-yl)-7-dimethylamino-chromen-2-one
3-Benzothiazol-2-yl-7-dimethylamino-chromen-2-one
3-Benzooxazol-2-yl-7-dimethylamino-chromen-2-one
3-Benzooxazol-2-yl-7-methylamino-chromen-2-one
3-(5-Chloro-benzooxazol-2-yl)-7-dimethylamino-chromen-2-one

in free base or acid addition salt form.

4. The compound according to claim 3 which is 3-benzothiazol-2-yl-7-[4-(2-fluoro-ethyl)-piperazin-1-yl]-chromen-2-one, in free base or acid addition salt form.

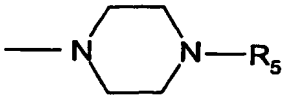
5. A composition for labeling histopathological structures in vitro or in vivo, comprising a compound of formula I



I

wherein

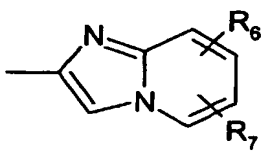
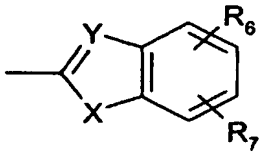
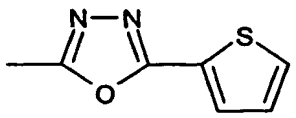
either R_1 and R_2 are both hydrogen and either R_3 and R_4, independently, are H, CH_3, $^{11}CH_3$, $(CH_2)_nI$, $(CH_2)_n{}^{123}I$, $(CH_2)_nOH$, $(CH_2)_nF$ or $(CH_2)_n{}^{18}F$, n being 2, 3 or 4, or R_3 and R_4, together with the nitrogen atom to which they are attached, form a group of formula



wherein R_5 is H, $(CH_2)_nI$, $(CH_2)_n{}^{123}I$, $(CH_2)_nOH$, CH_3, $^{11}CH_3$, $(CH_2)_nF$ or $(CH_2)_n{}^{18}F$, n being as defined above,

or one of R_1 and R_2 is hydrogen and the other, together with R_3, forms a $-(CH_2)_m-$ bridge, m being 2 or 3, and R_4 is H, CH_3, $(CH_2)_nI$, $(CH_2)_n{}^{123}I$, $(CH_2)_nOH$, $^{11}CH_3$, $(CH_2)_nF$ or $(CH_2)_n{}^{18}F$, and

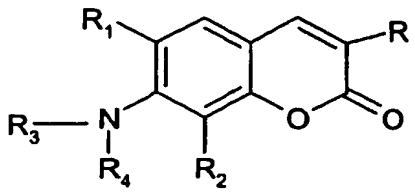
R is a group of formula

 ,  or 

wherein X is O, S or NR_8, R_8 being H, CH_3, $^{11}CH_3$, $(CH_2)_nI$, $(CH_2)_n{}^{123}I$, $(CH_2)_nOH$, $(CH_2)_nF$ or $(CH_2)_n{}^{18}F$ (n being as defined above), Y is CH or N and R_6 and R_7, independently, are H, NO_2, F, ^{18}F, $O(CH_2)_nF$, $O(CH_2)_n{}^{18}F$, Cl, CN, ^{11}CN, OCH_3, $O^{11}CH_3$, I, ^{123}I, $O(CH_2)_nI$ or $O(CH_2)_n{}^{123}I$ (n being as defined above),

in free base or acid addition salt form.

6. A method for labeling histopathological structures in vitro or in vivo, comprising contacting brain tissue with a compound of formula I



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wherein

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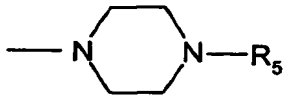
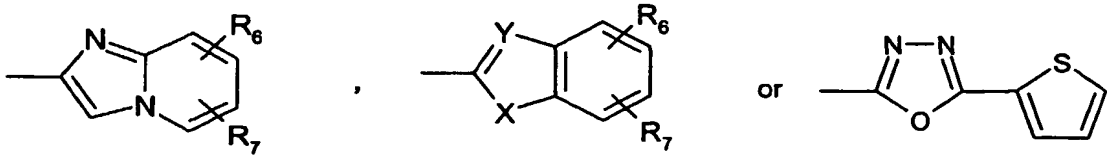


wherein R_5 is H, $(CH_2)_nI$, $(CH_2)_n{}^{123}I$, $(CH_2)_nOH$, CH_3, $^{11}CH_3$, $(CH_2)_nF$ or $(CH_2)_n{}^{18}F$, n being as defined above,

or one of R_1 and R_2 is hydrogen and the other, together with R_3, forms a $-(CH_2)_m-$ bridge, m being 2 or 3, and R_4 is H, CH_3, $(CH_2)_nI$, $(CH_2)_n{}^{123}I$, $(CH_2)_nOH$, $^{11}CH_3$, $(CH_2)_nF$ or $(CH_2)_n{}^{18}F$, and

R is a group of formula



wherein X is O, S or NR_8, R_8 being H, CH_3, $^{11}CH_3$, $(CH_2)_nI$, $(CH_2)_n{}^{123}I$, $(CH_2)_nOH$, $(CH_2)_nF$ or $(CH_2)_n{}^{18}F$ (n being as defined above), Y is CH or N and R_6 and R_7, independently, are H, NO_2, F, ^{18}F, $O(CH_2)_nF$, $O(CH_2)_n{}^{18}F$, Cl, CN, ^{11}CN, OCH_3, $O^{11}CH_3$, I, ^{123}I, $O(CH_2)_nI$ or $O(CH_2)_n{}^{123}I$ (n being as defined above),

in free base or acid addition salt form.

7. A method according to claim 6, for labeling ß-amyloid plaques and neurofibrillary tangles.

8. A method according to claim 6 or 7, comprising administering the compound of formula I to a patient.

9. A method according to any of claims 6 to 8, comprising the further step of determining whether the compound of formula I labeled the target structure.

10. A method according to claim 9, comprising observing the target structure labeled with a non-radioactive compound of formula I, using fluorescence microscopy.

11. A method according to claim 9, comprising observing the target structure labeled with a radioactive compound of formula I, using positron emission tomography (PET).

12. A method according to claim 9, comprising observing the target structure labeled with a radioactive compound of formula I, using single photon emission computed tomography (SPECT).

13. A method according to any one of claims 6 to 9, 11 and 12, for diagnosing Alzheimer's disease.

14. A method according to claim 13, for monitoring the effectiveness of a therapeutic treatment of Alzheimer's disease.

15. A method according to any of claims 6, 7, 9 and 10, for detecting histopathological hallmarks of Alzheimer's disease.